10/ 541,535

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS  3  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS  4  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS  5  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS  6  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS  7  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS  8  JAN 29  PHAR reloaded with new search and display fields
 NEWS  9  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 10  FEB 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 11  FEB 15  RUSSIAPAT enhanced with pre-1994 records
 NEWS 12  FEB 23  KOREAPAT enhanced with IPC 8 features and functionality
 NEWS 13  FEB 26  MEDLINE reloaded with enhancements
 NEWS 14  FEB 26  EMBASE enhanced with Clinical Trial Number field
 NEWS 15  FEB 26  TOXCENTER enhanced with reloaded MEDLINE
 NEWS 16  FEB 26  IFICDB/IFIPAT/IFIUDB reloaded with enhancements
 NEWS 17  FEB 26  CAS Registry Number crossover limit increased from 10,000
                  to 300,000 in multiple databases
 NEWS 18  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS 19  MAR 16  CASREACT coverage extended
 NEWS 20  MAR 20  MARPAT now updated daily
 NEWS 21  MAR 22  LWPI reloaded
 NEWS 22  MAR 30  RDISCLOSURE reloaded with enhancements
 NEWS 23  APR 02  JICST-EPLUS removed from database clusters and STN
 NEWS 24  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
 NEWS 25  APR 30  CHEMCATS enhanced with 1.2 million new records
 NEWS 26  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
 NEWS 27  APR 30  INPADOC replaced by INPADOCDB on STN
 NEWS 28  MAY 01  New CAS web site launched

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
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Enter NEWS followed by the item number or name to see news on that specific topic.

10/ 541,535

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:11:00 ON 07 MAY 2007

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:11:10 ON 07 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 6 MAY 2007 HIGHEST RN 934336-20-6
DICTIONARY FILE UPDATES: 6 MAY 2007 HIGHEST RN 934336-20-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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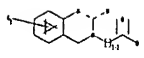
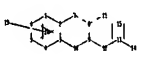
Uploading C:\Program Files\Stnexp\Queries\10541535.str





chain nodes :
11 12 13 14 15 19
ring nodes :

10/ 541,535

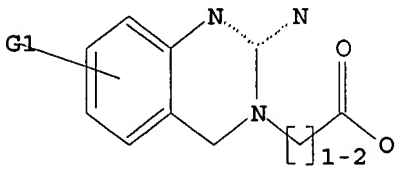
1 2 3 4 5 6 7 8 9 10
chain bonds :
8-11 9-12 12-13 13-14 13-15
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
2-7 3-10 7-8 8-9 8-11 9-10 9-12 13-14 13-15
exact bonds :
12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:H,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 19:CLASS 20:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample
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SAMPLE SCREEN SEARCH COMPLETED - 595 TO ITERATE

100.0% PROCESSED 595 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 10437 TO 13363
PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:11:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 11878 TO ITERATE

100.0% PROCESSED 11878 ITERATIONS 166 ANSWERS
SEARCH TIME: 00.00.01

10/ 541,535

L3 166 SEA SSS FUL L1

=> file zcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 172.10 TOTAL SESSION 172.31
FULL ESTIMATED COST

FILE 'ZCAPLUS' ENTERED AT 14:11:49 ON 07 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 May 2007 VOL 146 ISS 20
FILE LAST UPDATED: 6 May 2007 (20070506/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 20 L3

=> d his

(FILE 'HOME' ENTERED AT 14:11:00 ON 07 MAY 2007)

FILE 'REGISTRY' ENTERED AT 14:11:10 ON 07 MAY 2007
L1 STRUCTURE UPLOADED
L2 9 S L1 SAMPLE
L3 166 S L1 FUL

FILE 'ZCAPLUS' ENTERED AT 14:11:49 ON 07 MAY 2007
L4 20 S L3

=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:385933 ZCAPLUS
DOCUMENT NUMBER: 144:370110
TITLE: Preparation of anagrelide hydrochloride
PATENT ASSIGNEE(S): AOP Orphan Pharmaceuticals A.-G., Austria
SOURCE: Austrian, 7 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 541,535

AT 412873	B	20050825	AT 2004-273		20040220
AT 200400273	A	20050115			
WO 2005080398	A1	20050901	WO 2005-AT32		20050203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AT 2004-273 A 20040220
OTHER SOURCE(S): CASREACT 144:370110
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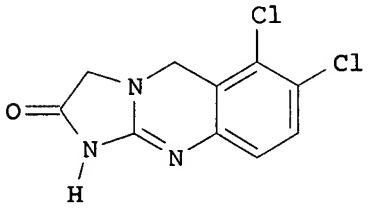

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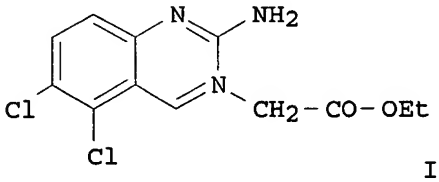


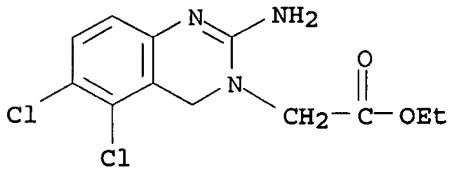
II

AB A process for the preparation of title compound I from 2,3-dichlorobenzaldehyde in 7-steps was disclosed. For example, NaHCO3 mediated cyclization of quinazolineacetic acid II afforded anagrelide in 80% yield.

IT 742010-46-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anagrelide hydrochloride)

RN 742010-46-4 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

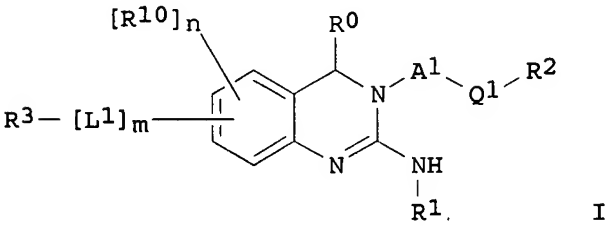
ACCESSION NUMBER: 2006:213433 ZCAPLUS
DOCUMENT NUMBER: 144:274294
TITLE: Novel 2-aminoquinazoline derivatives, their preparation and use as inhibitors of β-secretase for treating Alzheimer's disease and related disorders
INVENTOR(S): Bishoff, Francois Paul; Bracken, Mirielle; Pieters, Serge Marie Aloysius; Mercken, Marc Hubert; De Winter, Hans Louis Jos; Berthelot, Dieder Jean-Claude
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N. V., Belg.
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2

10/ 541,535

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006024932	A1	20060309	WO 2005-IB2595	20050808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006079686	A1	20060413	US 2005-197608	20050804
US 2006079687	A1	20060413	US 2005-197669	20050804
US 2006178383	A1	20060810	US 2005-197615	20050804
PRIORITY APPLN. INFO.:			US 2004-599810P P	20040806
			US 2004-599317P P	20040806
			US 2004-599811P P	20040806

OTHER SOURCE(S): MARPAT 144:274294
GI



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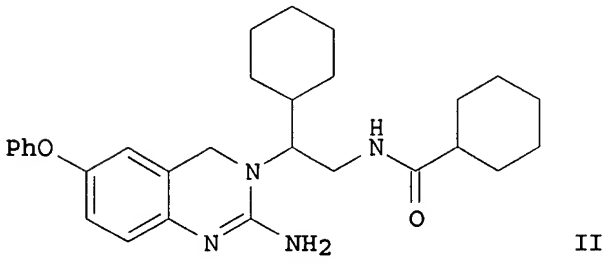

II

AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs. I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; A1 = (un)substituted alkyl; Q1= O, S, CO, CS, NHCO, CONH, etc.; R2 = (un)substituted cyclo/alkyl, aryl, spiroheterocyclyl, etc.; m = 0-1; R3 = (un)substituted alk(en)yl, aryl, etc.; n = 0-3; each R10 = independently OH, halo, alkyl, alkoxy, etc.; with provisos] pharmaceutical compns. containing them and their use as inhibitors of β-secretase, also known as β-site cleaving enzyme and BACE, in the treatment of Alzheimer's disease and related disorders. E.g., a multi-step synthesis starting from

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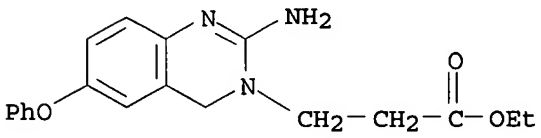
N-(tert-butoxycarbonyl)glycine Me ester and N,O-dimethylhydroxylamine•HCl was given for aminoquinazoline II. I inhibited β-secretase in 3 different assays.

IT 876763-94-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-aminoquinazolines as β-secretase inhibitors for treating Alzheimer's disease and related disorders)

RN 876763-94-9 ZCAPLUS

CN 3(4H)-Quinazolinepropanoic acid, 2-amino-6-phenoxy-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:152738 ZCAPLUS
DOCUMENT NUMBER: 144:254142
TITLE: Novel 2-aminoquinazoline derivatives, their preparation and use as inhibitors of β-secretase for treating Alzheimer's disease and related disorders
INVENTOR(S): Baxter, Ellen; Bischoff, Francois Paul; Boyd, Robert; Braeken, Mirielle; Coats, Steven; Huang, Yifang; Jordan, Alfonzo; Luo, Chi; Mercken, Marc Hubert; Reynolds, Charles H.; Ross, Tina Morgan; Tounge, Brett A.; Schulz, Mark; De Winte, Hans Louis Jos; Pieters, Serge Maria Aloysius; Reitz, Allen B.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 385 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017836	A2	20060216	WO 2005-US28191	20050808
WO 2006017836	A3	20060629		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006079686	A1	20060413	US 2005-197608	20050804
US 2006079687	A1	20060413	US 2005-197669	20050804
US 2006178383	A1	20060810	US 2005-197615	20050804

10/ 541,535

EP 1776349 A2 20070425 EP 2005-785256 20050808
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU
PRIORITY APPLN. INFO.: US 2004-599811P P 20040806
US 2004-599317P P 20040806
US 2004-599810P P 20040806
WO 2005-US28191 W 20050808
OTHER SOURCE(S): MARPAT 144:254142
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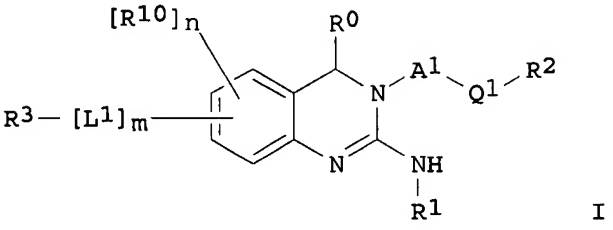

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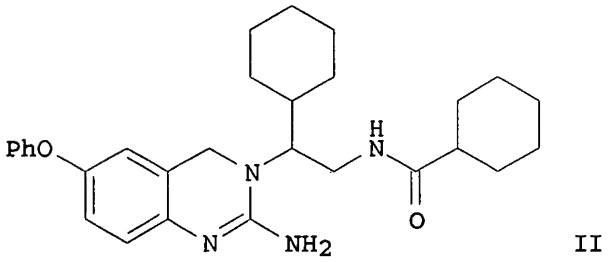


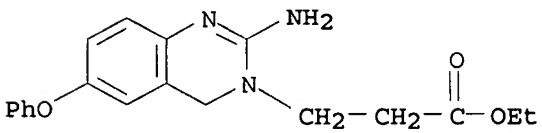
II

AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs. I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; A1 = (un)substittued alkyl; Q1 = O, S, CO, CS, NHCO, CONH, etc.; R2 = (un)substituted cyclo/alkyl, aryl, spiroheterocyclyl; m = 0-1; L1 = O, S, SO, SO2, etc.; R3 = (un)substituted alk(en)yl, aryl, etc.; n = 0-3; each R10 = independently OH, halo, alkyl, alkoxy, etc.; with provisos] pharmaceutical compns. containing them and their use as inhibitors of β-secretase, also known as β-site cleaving enzyme and BACE, in the treatment of Alzheimer's disease and related disorders. E.g., a multi-step synthesis starting from N-(tert-butoxycarbonyl)glycine Me ester and N,O-dimethylhydroxylamine•HCl was given for aminoquinazoline II. I inhibited β-secretase in 3 different assays.

IT 876763-94-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-aminoquinazolines as β-secretase inhibitors for treating Alzheimer's disease and related disorders)

RN 876763-94-9 ZCAPLUS

CN 3(4H)-Quinazolinepropanoic acid, 2-amino-6-phenoxy-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:149827 ZCAPLUS
DOCUMENT NUMBER: 144:254141
TITLE: Novel 2-aminoquinazoline derivatives, their preparation and use as inhibitors of β-secretase for treating Alzheimer's disease and related disorders
INVENTOR(S): Baxter, Ellen; Boyd, Robert; Coats, Steve; Jordan, Alfonzo; Reitz, Allen; Reynolds, Charles H.; Scott, Malcolm; Schulz, Mark; De Winter, Hans Louis Jos
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 382 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017844	A1	20060216	WO 2005-US28340	20050808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006079686	A1	20060413	US 2005-197608	20050804
US 2006079687	A1	20060413	US 2005-197669	20050804
US 2006178383	A1	20060810	US 2005-197615	20050804
EP 1776350	A1	20070425	EP 2005-786778	20050808
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.:

US 2004-599317P	P	20040806
US 2004-599810P	P	20040806
US 2004-599811P	P	20040806
WO 2005-US28340	W	20050808

OTHER SOURCE(S): MARPAT 144:254141
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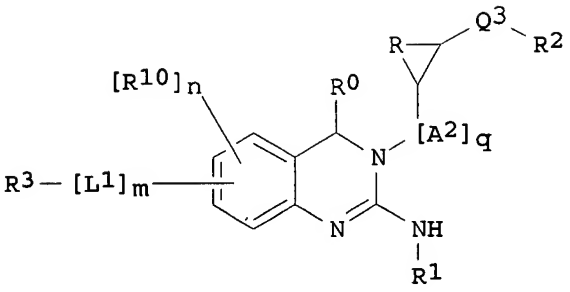

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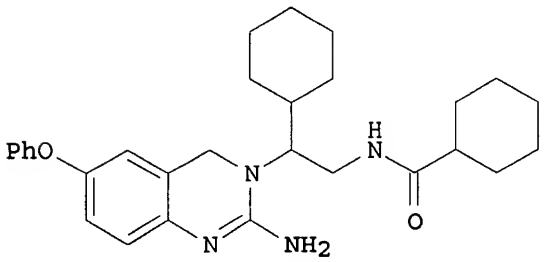


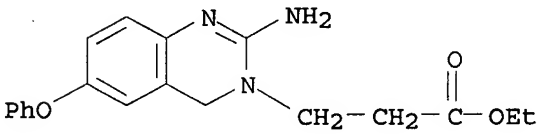
II

AB The invention is related to novel 2-amino-3,4-dihydro-quinazoline derivs. I [R0 = H, Me, CF3; R1 = H, OH, Me, Et, CF3, OEt, etc.; q = 0-1; A2 = (un)substituted alkyl; R = (un)substituted hetero/aryl, arylalkyl, hetero/cycloalkyl, partially unsatd. carbocyclyl, spiroheterocyclyl; provided that when q = 0; R is other than hetero/aryl; Q3 = O, S, CO, CS, OCO, etc.; R2 = (un)substituted cyclo/alkyl, aryl, spiroheterocyclyl, etc.; m = 0-1; L1 = O, S, SO, SO2, CO, NH and derivs., etc.; R3 = (un)substituted cyclo/alkyl, alkenyl, hetero/aryl, etc.; n = 0-3; each R10 = independently OH, halo, alkyl, alkoxy, etc.; with provisos] pharmaceutical compns. containing them and their use as inhibitors of β-secretase, also known as β-site cleaving enzyme and BACE, in the treatment of Alzheimer's disease and related disorders. E.g., a multi-step synthesis starting from N-(tert-butoxycarbonyl)glycine Me ester and N,O-dimethylhydroxylamine•HCl was given for aminoquinazoline II. I inhibited β-secretase in 3 different assays.

IT 876763-94-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-aminoquinazolines as β-secretase inhibitors for treating Alzheimer's disease and related disorders)

RN 876763-94-9 ZCAPLUS

CN 3(4H)-Quinazolinepropanoic acid, 2-amino-6-phenoxy-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

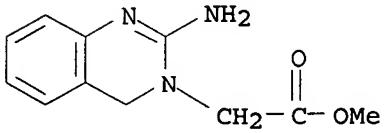
10/ 541,535

ACCESSION NUMBER: 2005:378803 ZCAPLUS
DOCUMENT NUMBER: 143:78149
TITLE: Base catalyzed intramolecular transamidation of 2-aminoquinazoline derivatives on solid phase
AUTHOR(S): Grover, Rajesh K.; Kesarwani, Amit P.; Srivastava, Gaurav K.; Kundu, Bijoy; Roy, Raja
CORPORATE SOURCE: Division of SAIF, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Tetrahedron (2005), 61(21), 5011-5018
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:78149

AB A novel intramol. cycloelimination via transamidation on Rink Amide AM resin under mild basic conditions is presented. The methodol. led to the synthesis of an important class of cardiotonic agents: imidazo- and pyrimidoquinazolines from the corresponding 2-aminoquinazoline hydrobromide salt under mild basic conditions. NMR based titration studies revealed the role of hydrobromide as a mol. switch, which on removal triggers the cyclization of aminoquinazoline to tricyclic structures. The main advantage of transamidation under basic conditions over the TFA cleavage is the recyclability of the resin obtained after cycloelimination. This has been demonstrated by successive synthesis of four structurally diverse imidazoquinazolin-2-ones using the same batch of resin without any cross contamination.

IT 855005-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazo- and pyrimidoquinazolines by base catalyzed intramol. transamidation of 2-aminoquinazolines on solid phase)

RN 855005-11-7 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

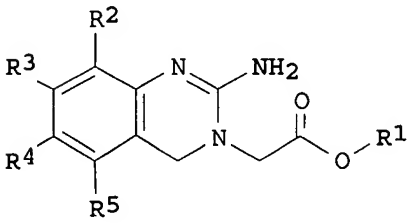
L4 ANSWER 6 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:587927 ZCAPLUS
DOCUMENT NUMBER: 141:117193
TITLE: 2-Amino-2H-quinazoline derivatives as prodrugs for the bronchdilator anagrelid
INVENTOR(S): Sachse, Rolf
PATENT ASSIGNEE(S): Chemisch-Pharmazeutisches Labor, Rolf Sachse GmbH, Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10301105	A1	20040722	DE 2003-10301105	20030109
DE 10301105	B4	20051124		
AU 2004203910	A1	20040729	AU 2004-203910	20040107
CA 2512999	A1	20040729	CA 2004-2512999	20040107
WO 2004063172	A1	20040729	WO 2004-EP54	20040107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1581506	A1	20051005	EP 2004-700454	20040107
EP 1581506	B1	20061129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006516565	T	20060706	JP 2006-500528	20040107
AT 346844	T	20061215	AT 2004-700454	20040107
US 2006148832	A1	20060706	US 2005-541535	20050709

PRIORITY APPLN. INFO.: DE 2003-10301105 A 20030109
WO 2004-EP54 W 20040107

OTHER SOURCE(S): MARPAT 141:117193

GI



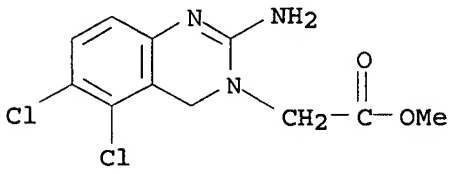
I

AB 2-Amino-2H-quinazolines I [R1 = alkyl; R2-R5 = H, Cl] are prodrugs for the bronchdilator anagrelid. They cyclize to anagrelid in basic medium. Thus, I [R1 = Me, R2, R3 = H, R4, R5 = Cl] was cyclized 100% in 0.1 M NaOH.

IT 70380-52-8 70380-54-0 70380-55-1
70381-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(2-amino-2H-quinazoline derivs. as prodrugs for the bronchdilator anagrelid)

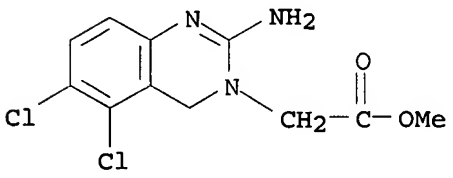
RN 70380-52-8 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)



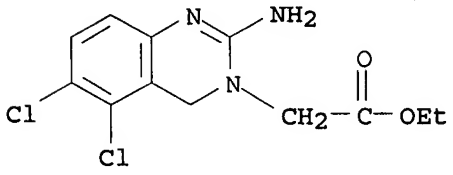
● HBr

RN 70380-54-0 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



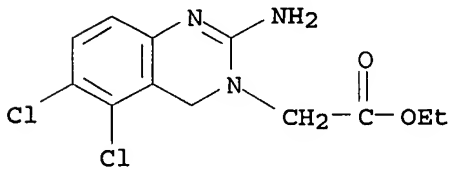
● HCl

RN 70380-55-1 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 70381-75-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 7 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:580016 ZCAPLUS
DOCUMENT NUMBER: 139:292219
TITLE: Solid Phase Synthesis of 2-Aminoquinazoline-Based Compounds
AUTHOR(S): Srivastava, Gaurav K.; Kesarwani, Amit P.; Grover, Rajesh K.; Roy, Raja; Srinivasan, T.; Kundu, Bijoy
CORPORATE SOURCE: Medicinal Chemistry Division and NMR Lab, Sophisticated Analytical Instrumentation Facility, Central Drug Research Institute, Lucknow, IA, 226001,

10/ 541,535

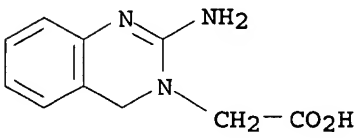
	USA
SOURCE:	Journal of Combinatorial Chemistry (2003), 5(6), 769-774
	CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 139:292219

AB A versatile method for the solid-phase synthesis of 2-aminoquinazoline-based derivs., 3-substituted-3,4-dihydroquinazolin-2-amines and imidazoquinazolines, has been developed. They were obtained by treating the amino group of polymer-linked amino acids with 2-nitrobenzaldehyde followed by reduction of the nitro group to an amine. Cyclization of the resulting immobilized intermediates with cyanogen bromide followed by acidic/basic cleavage yielded the desired quinazoline-based compds. in high yields and purities.

IT 603998-03-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of dihydroquinazolinamines and imidazoquinazolines by treating polymer-linked amino acids with nitrobenzaldehyde followed by reduction)

RN 603998-03-4 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2002:90046 ZCAPLUS
DOCUMENT NUMBER:	136:134782
TITLE:	Preparation of anagrelide related compounds via nitration of dihalobenzaldehydes.
INVENTOR(S):	Lang, Philip Charles; Spencer, Roxanne Paula; Yeh, Wen-Lung; Roth, Michael Joseph
PATENT ASSIGNEE(S):	Shire US Inc., USA
SOURCE:	PCT Int. Appl., 24 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008228	A2	20020131	WO 2001-GB3362	20010726
WO 2002008228	A3	20031009		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

	RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6388073		B1	20020514	US 2000-625962	20000726
CA 2417001		A1	20020131	CA 2001-2417001	20010726
EP 1373268		A2	20040102	EP 2001-951826	20010726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1700840		A2	20060913	EP 2006-13049	20010726
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EP 1700841		A2	20060913	EP 2006-13050	20010726
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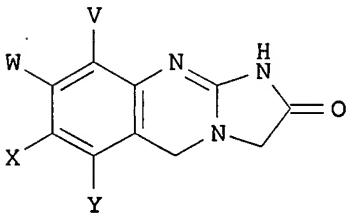
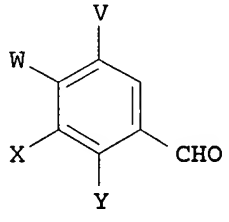
EP 1700859		A2	20060913	EP 2006-13051	20010726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1700842		A2	20060913	EP 2006-13052	20010726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1700843		A2	20060913	EP 2006-13053	20010726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003060630		A1	20030327	US 2002-134088	20020425
US 6653500		B2	20031125		
PRIORITY APPLN. INFO.:				US 2000-625962	A 20000726
				EP 2001-951826	A3 20010726
				WO 2001-GB3362	W 20010726

OTHER SOURCE(S): CASREACT 136:134782; MARPAT 136:134782

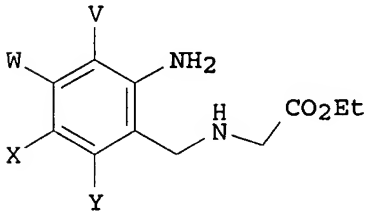
GI



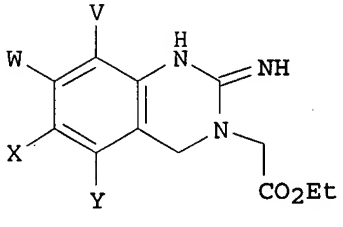
I



II



III



IV

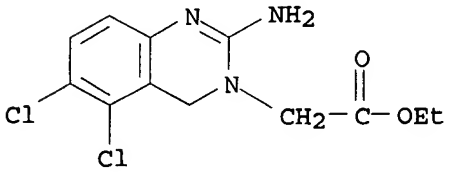
AB Title compds. (I; X, Y = F, Cl, Br, iodo; V, W = H, F, Cl, Br, iodo), were prepared in 7 steps from aldehydes (II; variables as above) via successive nitration, aldehyde reduction, chlorination, amination, and NO2 reduction to give (III; variables as above) followed by bromocyanation to give (IV; variables as above), and cyclization. Thus, Et N-(6-amino-2,3-

dichlorobenzyl)glycine (preparation given) was refluxed 1 h with CNBr in PhMe to give 96-98% Et 5,6-dichloro-3,4-dihydro-1(1H)-iminoquinazoline-3-acetate hydrobromide. The latter was stirred with Et3N in H2O for 2 h to give 86-88% 6,7-dichloro-1,5-dihydroimidazo[2,1-b]quinazolin-2(3H)-one.

IT 70381-75-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anagrelide related compds. via nitration of dihalobenzaldehydes)

RN 70381-75-8 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 9 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:749954 ZCAPLUS

DOCUMENT NUMBER: 128:75359

TITLE: A convenient large-scale synthesis of ethyl (2-cyanimino-5,6-dichloro-1,2,3,4-tetrahydroquinazolin-3-yl)acetate

AUTHOR(S): Trinka, P.; Reiter, Jozsef

CORPORATE SOURCE: EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1997), 339(8), 750-753
CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Johann Ambrosius Barth

DOCUMENT TYPE: Journal

LANGUAGE: English

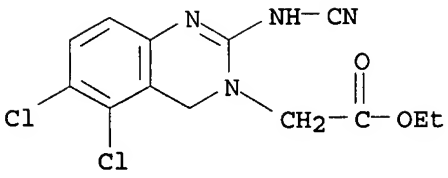
OTHER SOURCE(S): CASREACT 128:75359

AB Attempts to elaborate practical routes, applicable for industrial scale for the synthesis of the title compound as anagrelide precursor starting either from 2-amino-5,6-dichlorobenzylamine or N-(2-amino-5,6-dichlorobenzyl)glycinate are reported. The reaction of the latter compound with (PhO)2C:NCN in MeCN gave the desired product in 95% yield within 2 h.

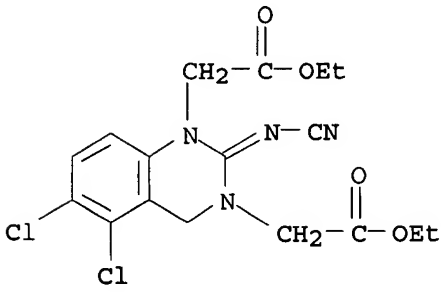
IT 146374-56-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(large-scale synthesis of anagrelide precursor [chloro(cyanimino)hydroquinazolinyl]acetate)

RN 146374-56-3 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, ethyl ester (9CI) (CA INDEX NAME)



IT 200571-16-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of anagrelide precursor [chloro(cyanimino)hydroquinazolinyl]acetate)
RN 200571-16-0 ZCAPLUS
CN 1,3(2H,4H)-Quinazolinediacetic acid, 5,6-dichloro-2-(cyanoimino)-, diethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:959882 ZCAPLUS
DOCUMENT NUMBER: 124:44698
TITLE: Isolation and identification of seven metabolites of a water-soluble platelet aggregation inhibitor in rat urine
AUTHOR(S): Tanaka, M.; Ishikawa, F.; Hakusui, H.
CORPORATE SOURCE: Drug Metabolism and Analytical Chemistry Research Center, Daiichi Pharmaceutical Co. Ltd., Tokyo, 134, Japan
SOURCE: Xenobiotica (1995), 25(11), 1247-57
CODEN: XENOBH; ISSN: 0049-8254
PUBLISHER: Taylor & Francis
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

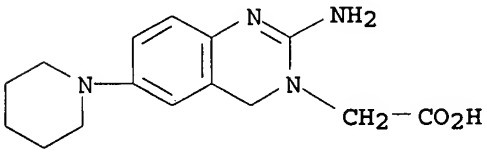
AB Seven metabolites of 7-piperidino-1,2,3,4,5-tetrahydroimidazo[2,1-b]quinazolin-2-one dihydrochloride monohydrate (DN-9693) were isolated from rat urine by extraction with Amberlite XAD-2 and purification by silica gel and Sephadex LH-20 open-column chromatog. and preparative high-performance liquid chromatog. (HPLC). The structure assignment of the metabolites was performed by field desorption mass spectrometry and 200-MHz Fourier transform NMR spectroscopic anal. and comparison with authentic stds. when available. DN-9693 underwent metabolism mainly at the piperidine ring to give the 4-hydroxypiperidine derivative (III) and 2-hydroxy-piperidine derivative,

which is further metabolized to lactam (II) or δ-aminovaleric acid (V). The acid side chain of V was shortened by β-oxidation to form the 3-aminopropionic acid derivative (VII). V and/or VII underwent oxidative dealkylation to give the 7-amino derivative, which was conjugated with acetic acid to form the 7-acetylamino derivative (IV). DN-9693 also underwent hydrolysis of its lactam moiety to give VI. The urinary excretion of III, V and VII was determined by liquid chromatog./electrochem. (LC/EC) and V proved to be the major metabolite in rat urine. A procedure is also presented for the identification of DN-9693 metabolites using LC/EC.

IT 172271-02-2
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)
(DN-9693 metabolite isolation and identification in rat urine)

RN 172271-02-2 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:528642 ZCAPLUS

DOCUMENT NUMBER: 122:265395

TITLE: Process for the preparation of 6,7-dichloro-1,5-dihydroimidazo[2,1-b]quinazolin-2(3H)-one

INVENTOR(S): Reiter, Jozsef; Trinka, Peter; Toempe, Peter; Szabo, Eva; Slegel, Peter; Brlik, Janos; Halbauer, Nee Nagy Agnes; Sztruhar, Ilona; Kenyeres, Nee Feher Magdolna; et al.

PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.

SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 886,605, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

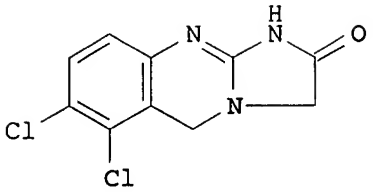
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5391737	A	19950221	US 1993-156974	19931124
HU 61003	A2	19921130	HU 1991-1707	19910522
HU 208681	B	19931228		
HU 62586	A2	19930528	HU 1991-1708	19910522
HU 209633	B	19940928		

PRIORITY APPLN. INFO.:

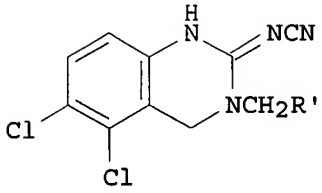
HU 1991-1707	A	19910522
HU 1991-1708	A	19910522
US 1992-886605	B2	19920521

OTHER SOURCE(S): CASREACT 122:265395; MARPAT 122:265395

GI



I



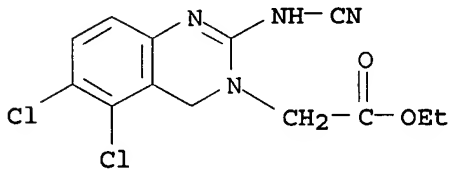
II

AB This invention relates to a new and improved process for the preparation of 6,7-dichloro-1,5-dihydroimidazo[2,1-b]quinazolin-2(3H)-one (I, anagrelide), a valuable blood platelet antiaggregative compound According to the process of the invention, I is prepared by subjecting a new 2-cyanoiminoquinazoline derivative of the general formula II wherein R' stands for cyano or a group of the formula COOR1, in the latter R1 representing lower alkyl optionally carrying a Ph substituent, to thermal cyclization in an acidic medium. The invention also relates to new 2-cyanoiminoquinazolines of the general formula II used for the production of anagrelide and to the preparation of the said compds. The invention provides an advantageous process for the preparation of anagrelide which is devoid of the drawbacks of the hitherto known processes and renders possible the production of the compound of the formula I on an industrial scale. Thus, e.g., thermal cyclization of Et (2-cyanoimino-5,6-dichloro-1,2,3,4-tetrahydroquinazolin-3-yl)acetate (preparation given) in ethylene glycol/HCl at 115° afforded 93.0% 6,7-dichloro-1,5-dihydroimidazo[2,1-b]quinazolin-2[3H]-one base.

IT 146374-56-3P, Ethyl (2-cyanoimino-5,6-dichloro-1,2,3,4-tetrahydroquinazolin-3-yl)acetate 146374-59-6P, Benzyl (2-cyanoimino-5,6-dichloro-1,2,3,4-tetrahydroquinazolin-3-yl)acetate
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anagrelide via thermal cyclization of 2-cyanoiminoquinazoline derivs.)

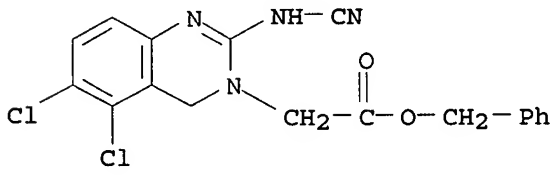
RN 146374-56-3 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 146374-59-6 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, phenylmethyl ester (9CI) (CA INDEX NAME)

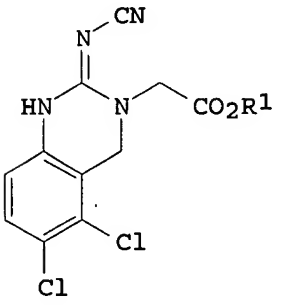


10/ 541,535

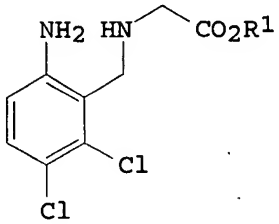
L4 ANSWER 12 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:270448 ZCAPLUS
DOCUMENT NUMBER: 120:270448
TITLE: Process for producing quinazolineacetic acid esters via cyclization of N-cyanimidodithiocarbonates with aminobenzylglycinates catalyzed by mercury or lead compounds
INVENTOR(S): Trinka, Peter; Reiter, Jozsef; Pongo, Laszlo
PATENT ASSIGNEE(S): EGIS Gyogyszergyar RT., Hung.
SOURCE: Hung. Teljes, 16 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 64045	A2	19931129	HU 1992-1223	19920410
HU 213619	B	19970828		
PRIORITY APPLN. INFO.:			HU 1992-1223	19920410

OTHER SOURCE(S): CASREACT 120:270448; MARPAT 120:270448
GI



I

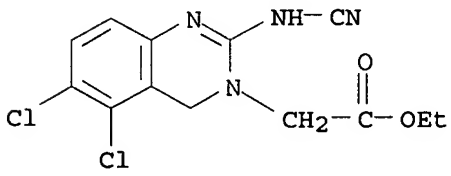


II

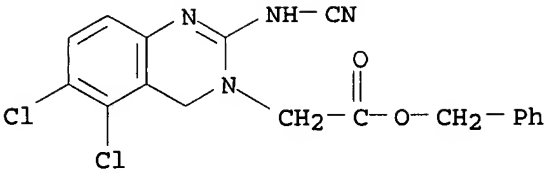
AB Title compds. I (R1 = C1-4 alkyl which may contain a Ph group) were prepared by cyclization of diamino esters II (R1 as above) with cyanimide derivs. (R2S)2C:NCN (R2 = C1-4 alkyl which may contain a Ph group) in presence of a catalyst. Thus, reaction of (MeS)2C:NCN with II (R1 = Et) in presence of Hg(II) oxide afforded 81.5% I (R1 = Et).

IT 146374-56-3P 146374-59-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 146374-56-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, ethyl ester (9CI) (CA INDEX NAME)



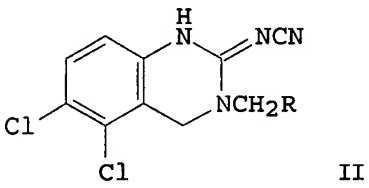
RN 146374-59-6 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:191755 ZCAPLUS
DOCUMENT NUMBER: 118:191755
TITLE: Preparation of anagrelide
INVENTOR(S): Reiter, Jozef; Trinka, Peter; Tompe, Peter; Szabo, Eva; Slegel, Peter; Brlik, Janos; Halbauer, Agnes; Sztruhar, Ilona; Kenyeres, Magdolna; et al.
PATENT ASSIGNEE(S): Egis Gyogyszergyar, Hung.
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 514917	A1	19921125	EP 1992-108656		19920522
EP 514917	B1	19961227			
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, NL, SE					
HU 61003	A2	19921130	HU 1991-1707		19910522
HU 208681	B	19931228			
HU 62586	A2	19930528	HU 1991-1708		19910522
HU 209633	B	19940928			
JP 05271200	A	19931019	JP 1992-151259		19920520
GB 2256195	A	19921202	GB 1992-10908		19920521
GB 2256195	B	19941221			
RU 2042678	C1	19950827	RU 1992-5011723		19920521
CZ 281671	B6	19961211	CZ 1992-1538		19920522
AT 146789	T	19970115	AT 1992-108656		19920522
ES 2095349	T3	19970216	ES 1992-108656		19920522
PRIORITY APPLN. INFO.:			HU 1991-1707	A	19910522
			HU 1991-1708	A	19910522

OTHER SOURCE(S): CASREACT 118:191755; MARPAT 118:191755
GI

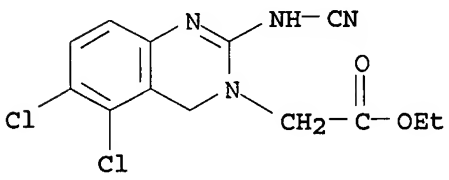


II

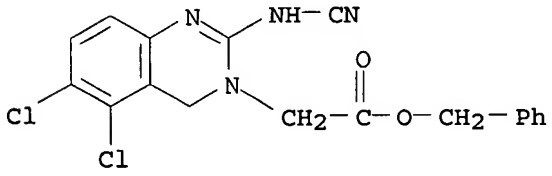
AB The title compound (I) was prepared by cyclization of cyanoiminotetrahydroquinazolinylacetates II [R = cyano, (ar)alkoxycarbonyl]. Thus, Et (2-amino-5,6-dichlorobenzylamino)acetate was cyclocondensed with (PhO)2C:NCN to give 77.6% II (R = CO2Et) which was stirred 30 min at 115° with HCl in HOCH2CH2OH to give 82.9% I.

10/ 541,535

IT 146374-56-3P 146374-59-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, in preparation of anagrelide)
RN 146374-56-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, ethyl ester (9CI) (CA INDEX NAME)



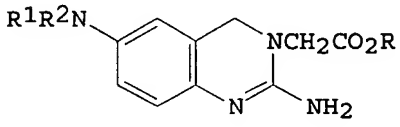
RN 146374-59-6 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 5,6-dichloro-2-(cyanoamino)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:23910 ZCAPLUS
DOCUMENT NUMBER: 110:23910
TITLE: Quinazolineacetic acid derivatives as platelet aggregation inhibitors
INVENTOR(S): Ishikawa, Fumyoshi; Ono, Kenji
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63196573	A	19880815	JP 1987-29236	19870210
JP 07030046	B	19950405		
PRIORITY APPLN. INFO.:			JP 1987-29236	19870210

OTHER SOURCE(S): MARPAT 110:23910
GI



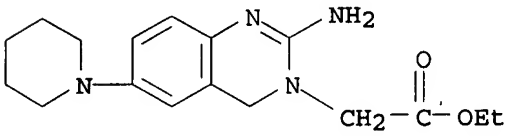
I

AB The title derivs. I (R = H, alkyl; R1, R2 = alkyl, R1R2 = alkylene) and

their acid salts are prepared as platelet aggregation inhibitors. Reaction of 4.0 g 2-nitro-5-chlorobenzoic acid with 8.5 g piperidine gave 3.5 g 2-nitro-5-(1-piperidinyl)benzoic acid, which was reduced by NaBH4 in THF to give 2.7 g 2-nitro-5-(1-piperidinyl)benzyl alc. (II). II (1.08 g) was chlorinated by SOCl2, then treated with 3.2 g glycine Et ester-HCl in EtOH containing Et3N to give 0.65 g Et 2-nitro-5-(1-piperidinyl)benzylaminoacetate (III). A solution of 0.65 g III in EtOH was hydrogenated over PtO2 and the product was treated with 0.22 g BrCN in EtOH at room temperature overnight and then with HCl to give 0.44 g I.HBr.HCl.H2O [R = Et, R1R2 = (CH2)5], which showed IC50 of 25 μM against collagen-induced aggregation.

IT 118159-36-7P 118159-37-8P 118159-38-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as platelet aggregation inhibitor)

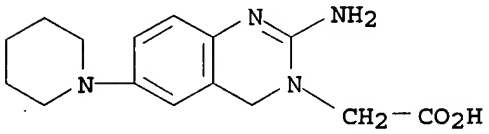
RN 118159-36-7 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-(1-piperidinyl)-, ethyl ester, hydrobromide hydrochloride (9CI) (CA INDEX NAME)



●x HBr

●x HCl

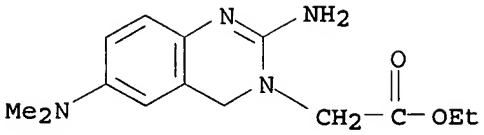
RN 118159-37-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-(1-piperidinyl)-, hydrobromide hydrochloride (9CI) (CA INDEX NAME)



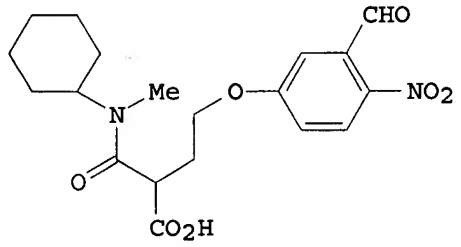
●x HBr

●x HCl

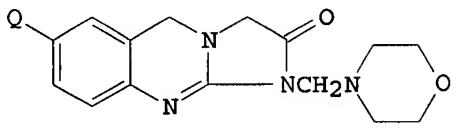
RN 118159-38-9 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-(dimethylamino)-, ethyl ester (9CI) (CA INDEX NAME)



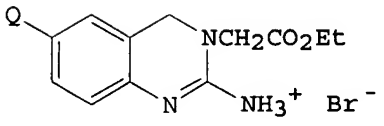
L4 ANSWER 15 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:570369 ZCAPLUS
DOCUMENT NUMBER: 109:170369
TITLE: Inhibitors of cyclic AMP phosphodiesterase. 4. Synthesis and evaluation of potential prodrugs of lixazinone (N-cyclohexyl-N-methyl-4-[(1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b]quinazolin-7-yl)oxy]butyramide, RS-82856)
AUTHOR(S): Venuti, Michael C.; Alvarez, Robert; Bruno, John J.; Strosberg, Arthur M.; Gu, Leo; Chiang, Hi Shi; Massey, Ian J.; Chu, Nancy; Fried, John H.
CORPORATE SOURCE: Inst. Bio-Organic Chem., Syntex Research, Palo Alto, CA, 94304, USA
SOURCE: Journal of Medicinal Chemistry (1988), 31(11), 2145-52
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:170369
GI



II

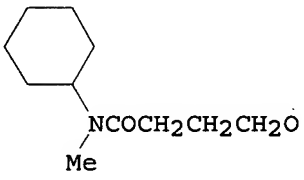


III



IV

Q=

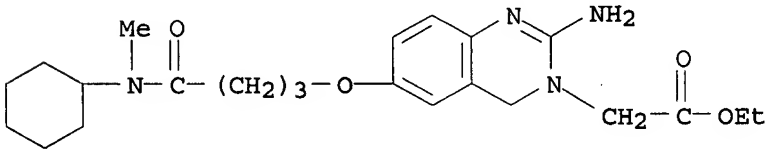


AB The cyclic AMP phosphodiesterase (cAMP PDE) inhibitor and cardiotonic agent lixazinone [N-cyclohexyl-N-methyl-4-[(1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b]quinazolin-7-yl)oxy]butyramide, RS-82856, I] and its acid and base addition salts were found to be insufficiently soluble in formulations suitable for i.v. administration. Potential prodrugs with enhanced aqueous solubility were designed to deliver I by three distinct mechanisms: (1) decarboxylation of α-carboxamides; (2) hydrolytic loss of a solubilizing N-1 (acyloxy)methyl or (N,N-dialkylamino)methyl moiety; or

(3) intramol. closure of a guanidino ester or amide. The target compds. were evaluated as deliver systems for I by three criteria: (1) chemical conversion rate to I under physiol. conditions; (2) inhibition of type IV cAMP PDE at a fixed time point; and (3) in vivo inotropic activity in anesthetized dogs by both i.v. and oral administration. Release of I from α-carboxamide II was too slow to be of value as a prodrug of I, since decarboxylation could be induced only by strong acid, conditions under which hydrolytic ring opening severely competed. Conversely, I was released too readily on exposure of (N,N,-dialkylamino)methyl derivs., e.g. III, to physiol. conditions, although no large increase in aqueous solubility was realized. Both the physicochem. and in vitro studies indicated that ring closure of the guanidinium esters and amides, e.g. II, to I was quant. and pH- and time-dependent, suggesting the possibility of delivery of the open, water-soluble prodrug form, followed by closure to I in plasma. Detailed examination of these agents in vivo, demonstrated that only those compds. that rapidly cyclized to I, as measured by plasma levels of I exhibited inotropic activity, indicating that the open prodrug form was not efficiently absorbed upon oral administration.

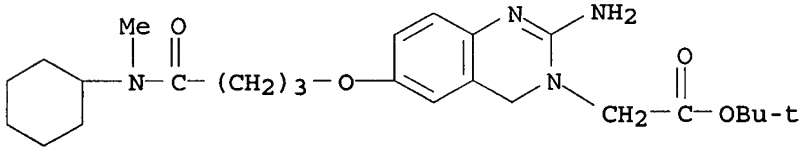
IT 114703-76-3P 114703-82-1P 114703-83-2P
114703-84-3P 114703-85-4P 114703-86-5P
115623-42-2P 116005-78-8P 116005-82-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and biol. evaluation of, as lixazinone prodrug)

RN 114703-76-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



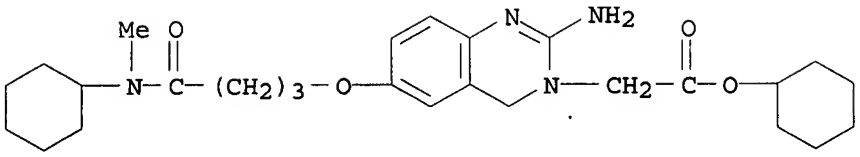
● HBr

RN 114703-82-1 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,1-dimethylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



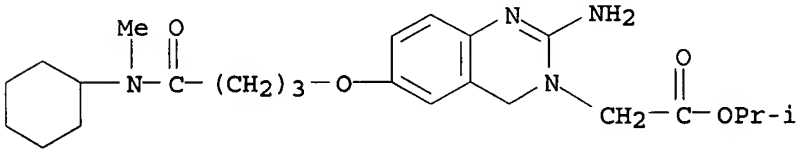
● HBr

RN 114703-83-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, cyclohexyl ester, monohydrobromide (9CI) (CA INDEX NAME)



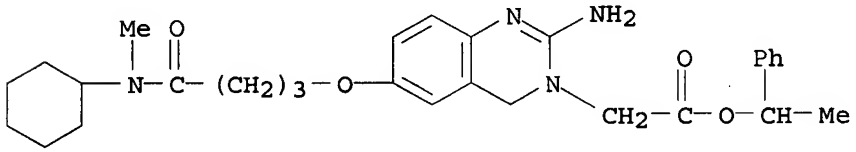
● HBr

RN 114703-84-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1-methylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



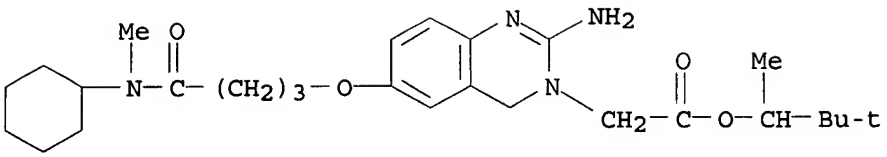
● HBr

RN 114703-85-4 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1-phenylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



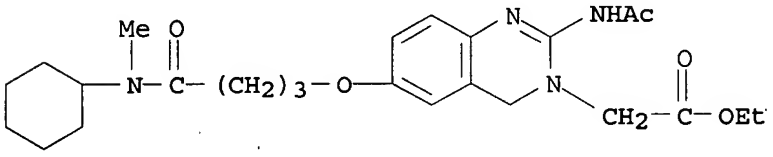
● HBr

RN 114703-86-5 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,2,2-trimethylpropyl ester, monohydrobromide (9CI) (CA INDEX NAME)

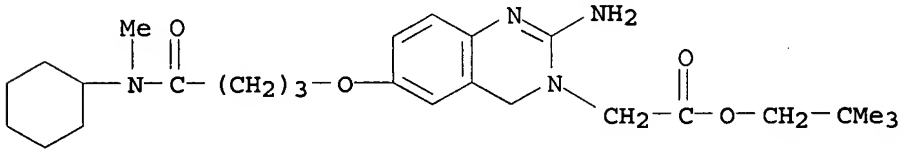


● HBr

RN 115623-42-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-(acetylamino)-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester (9CI) (CA INDEX NAME)

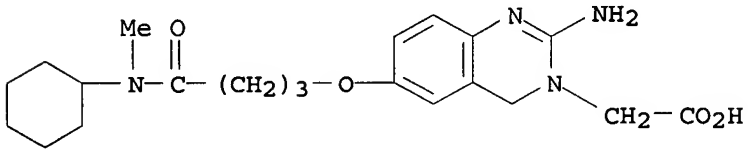


RN 116005-78-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 2,2-dimethylpropyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 116005-82-4 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, dihydrobromide (9CI) (CA INDEX NAME)

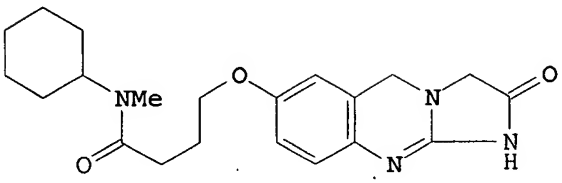


●2 HBr

L4 ANSWER 16 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:534889 ZCAPLUS

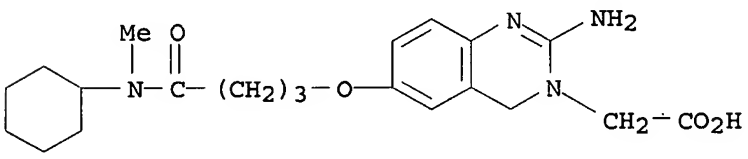
10/ 541,535

DOCUMENT NUMBER: 109:134889
TITLE: Parenteral formulation development for the positive inotropic agent RS-82856. Hydrolysis and oxidation kinetics, solubility and i.v. formulation considerations
AUTHOR(S): Gu, Leo; Oanh Huynh; Strickley, Robert G.; Lin, Li Hwa; Visor, Gary C.
CORPORATE SOURCE: Inst. Pharm. Sci., Syntex Res., Palo Alto, CA, USA
SOURCE: International Journal of Pharmaceutics (1988), 45(1-2), 129-38
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The degradation kinetics and solubility of RS-82856 hydrogen sulfate (I hydrogen sulfate) in aqueous and organic solns. were investigated. I reached a .apprx.50/50 equilibrium in water with its imidazole-ring-opened product (RS-31621), which then further degraded to give several secondary products. The rate consts. for the acid catalyzed (kH), spontaneous or water-catalyzed (k0) and base-catalyzed (kOH) reactions for both the forward and reverse reactions were determined at 40, 60 and 80°. The reacting species responsible for each reaction were proposed. Biphasic kinetics were also observed for the autoxidn. of I hydrogen sulfate in organic solvents; the 5-oxo analog (RS-82890) was the only product detected. The t90s in propylene glycol, dimethylacetamide and DMSO for the hydrogen sulfate salt at 25° are <4 wk. These stability results and various solubility data were combined to evaluate possible i.v. formulations for toxicol. and clin. studies. All solution formulations (aqueous, aqueous-organic or organic) are unsuitable for I hydrogen sulfate and alternatives should be sought.
IT 114703-77-4
RL: FORM (Formation, nonpreparative)
(formation of, as RS-82856 degradation product, parenteral formulation in relation to)
RN 114703-77-4 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]- (9CI) (CA INDEX NAME)



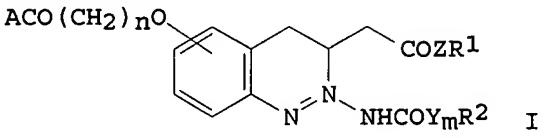
L4 ANSWER 17 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:493050 ZCAPLUS
DOCUMENT NUMBER: 109:93050

10/ 541,535

TITLE: Preparation and testing of dihydroquinazolinyloxyalkylamides as cardiotonics and antithrombotics
INVENTOR(S): Venuti, Michael C.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4739056	A	19880419	US 1986-935659	19861126

PRIORITY APPLN. INFO.: US 1986-935659 19861126
OTHER SOURCE(S): MARPAT 109:93050
GI

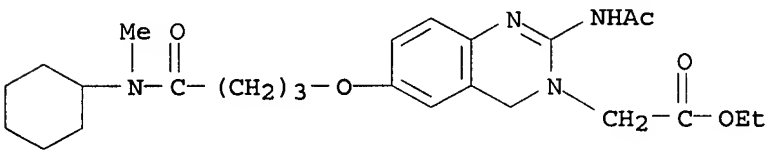


I

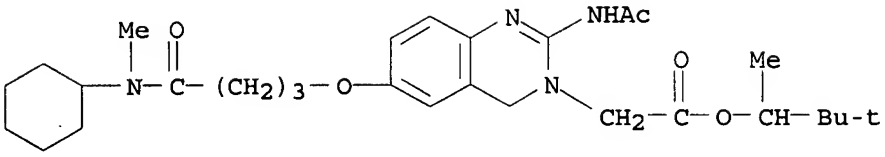
AB The title compds. [I; R1-R4 = H, alkyl optionally substituted by Cl3C or F3C, (substituted) cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl; R2R4, R1R3 = atoms to complete heterocyclic rings; A = amino; Y = O, NR4; Z = O, NR3; m = 0,1; n = 1-6] were prepared as antithrombotics and inotropics. Glycine tert-Bu ester-HCl was stirred overnight with NaOAc in EtOH and the filtered mixture was treated with N-cyclohexyl-N-methyl-4-(3-formyl-4-nitrophenyl)oxybutyramide and then NaBH3CN to give N-cyclohexyl-N-methyl-4-[2-amino-3-(tert-butyloxycarbonylmethyl)-3,4-dihydroquinazolin-6-yl]oxybutyramide-HBr. The latter was acetylated with Ac2O/Et3N in CH2Cl2 followed by stirring overnight with HBr/HOAc in EtOAc/EtOH to give N-cyclohexyl-N methyl-4-[2-acetamido-3-(ethoxycarbonylmethyl)-3,4-dihydroquinazolin-6-yl]oxybutyramide. The latter at 3.2 mg/kg intraduodenally in dogs increased right ventricular contractile force to 58% of the maximum value seen with isoproterenol.

IT 115623-42-2P 115623-43-3P 115623-44-4P
115623-45-5P 115623-46-6P 115623-47-7P
115623-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiotonic and antithrombotic)

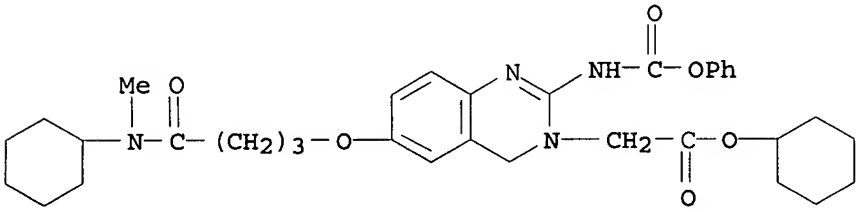
RN 115623-42-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-(acetylamino)-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester (9CI) (CA INDEX NAME)



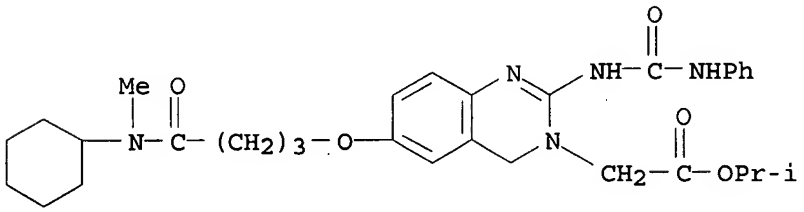
RN 115623-43-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-(acetylamino)-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,2,2-trimethylpropyl ester (9CI) (CA INDEX NAME)



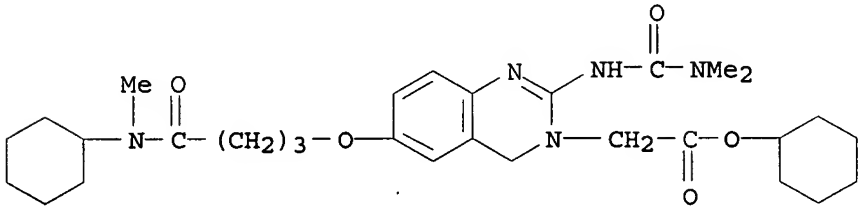
RN 115623-44-4 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-2-[(phenoxycarbonyl)amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)



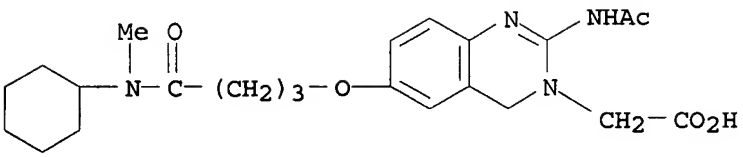
RN 115623-45-5 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-2-[[(phenylamino)carbonyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 115623-46-6 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-2-[[(dimethylamino)carbonyl]amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)

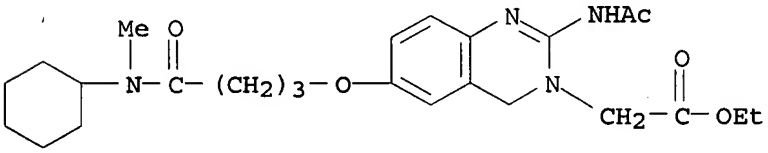


RN 115623-47-7 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-(acetylamino)-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



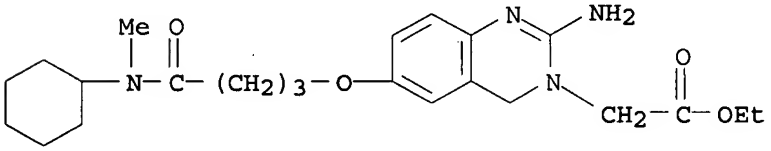
● HCl

RN 115623-48-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-(acetylamino)-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



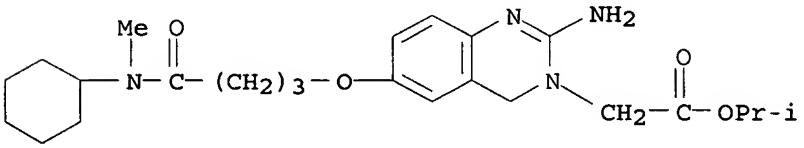
● HCl

IT 114703-76-3P 115623-49-9P 115623-50-2P
115653-85-5P 115653-86-6P 115653-87-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for quinazoline cardiotonic)
RN 114703-76-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



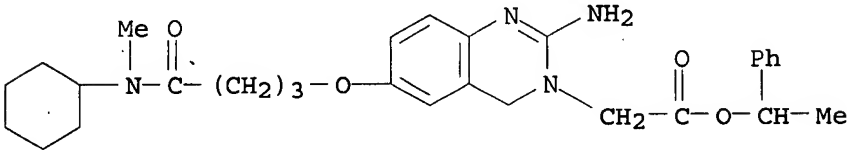
● HBr

RN 115623-49-9 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

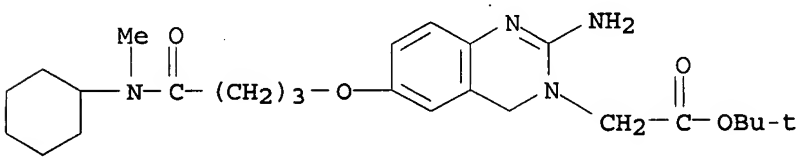


10/ 541,535

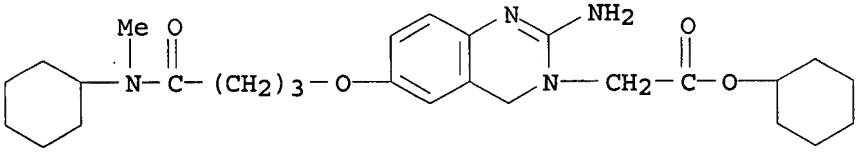
RN 115623-50-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1-phenylethyl ester (9CI) (CA INDEX NAME)



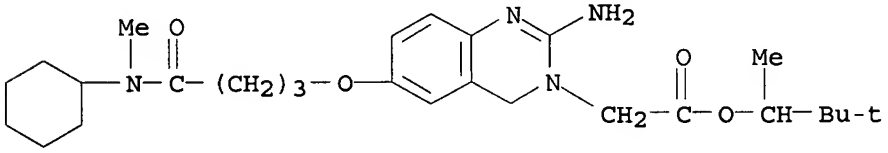
RN 115653-85-5 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 115653-86-6 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, cyclohexyl ester (9CI) (CA INDEX NAME)



RN 115653-87-7 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,2,2-trimethylpropyl ester (9CI) (CA INDEX NAME)



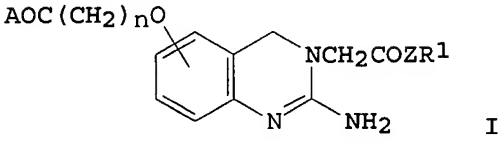
L4 ANSWER 18 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:406541 ZCAPLUS
DOCUMENT NUMBER: 109:6541
TITLE: Preparation, testing, and formulation of [2-amino-3-(acylmethyl)-3,4-dihydroquinazolinyloxy]alkanamides as cardiovascular agents
INVENTOR(S): Fried, John H.; Venuti, Michael C.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

10/ 541,535

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254327	A2	19880127	EP 1987-110796	19870724
EP 254327	A3	19891108		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4761416	A	19880802	US 1986-889641	19860725
DK 8703888	A	19880126	DK 1987-3888	19870724
AU 8776100	A	19880128	AU 1987-76100	19870724
JP 63039866	A	19880220	JP 1987-186503	19870724
ZA 8705469	A	19890329	ZA 1987-5469	19870724
PRIORITY APPLN. INFO.:			US 1986-889641 A	19860725
OTHER SOURCE(S):	CASREACT 109:6541; MARPAT 109:6541			

GI



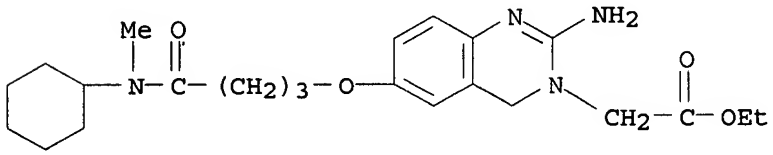
I

AB The title compds. (I; R1 = H, OH, Me, Et, cycloalkyl, hydroxyalkyl, alkoxy, halo, amino, etc.; A = amino; Z = O, NR2; R2 = R1; n = 1-6) and their pharmaceutically acceptable salts were prepared as cardiovascular agents. N-Cyclohexyl-N-methyl-4-(3-formyl-4-nitrophenoxy)butyramide and then NaBH3CN were added to a mixture of glycine Et ester-HCl and NaOAc in EtOH and the mixture was stirred 3 h. The residue was hydrogenated in EtOH over Pd/C and treated with BrCN to give N-cyclohexyl-N-methyl-4-[[2-amino-3-(ethoxycarbonylmethyl)-3,4-dihydroquinazolin-6-yl]oxy]butyramide. The latter inhibited human cAMP phosphodiesterase with an IC50 of 9.3 nM, and increased contractility of the right ventricle of dogs by 38-62% of the maximum values seen with isoproterenol.

IT 114703-76-3P 114703-77-4P 114703-82-1P
114703-83-2P 114703-84-3P 114703-85-4P
114703-86-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 114703-76-3 ZCAPLUS

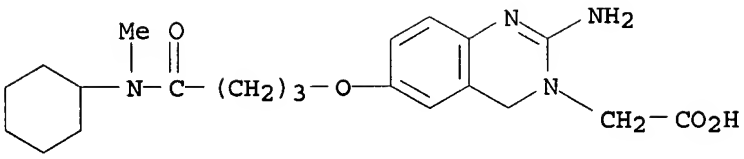
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



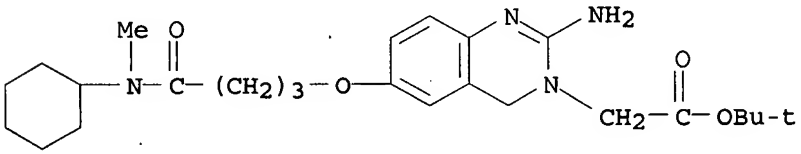
● HBr

RN 114703-77-4 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]- (9CI) (CA INDEX NAME)

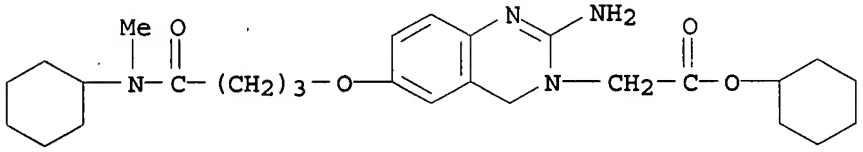


RN 114703-82-1 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,1-dimethylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



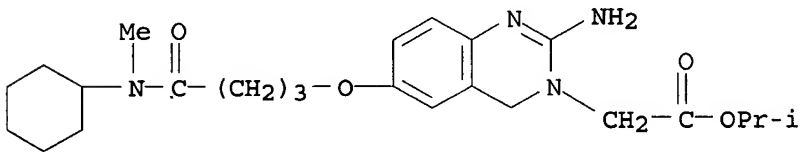
● HBr

RN 114703-83-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, cyclohexyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

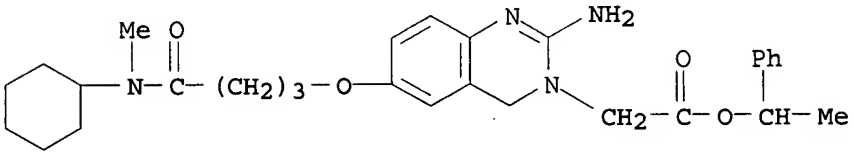
RN 114703-84-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1-methylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

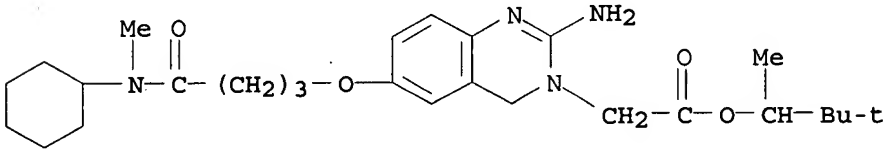
RN 114703-85-4 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-

oxobutoxy]-, 1-phenylethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 114703-86-5 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-6-[4-(cyclohexylmethylamino)-4-oxobutoxy]-, 1,2,2-trimethylpropyl ester, monohydrobromide (9CI) (CA INDEX NAME)

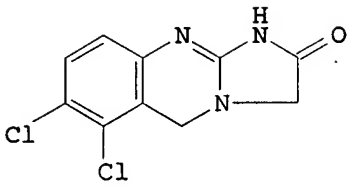


● HBr

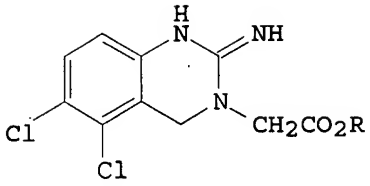
L4 ANSWER 19 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:179403 ZCAPLUS
DOCUMENT NUMBER: 98:179403
TITLE: 6,7-Dichloro-1,5-dihydroimidazo[2,1-b]quinazolin-2(3H)-one
INVENTOR(S): Jenks, Thomas A.; Beverung, Warren N., Jr.; Partyka, Richard A.
PATENT ASSIGNEE(S): Bristol-Myers Co. , USA
SOURCE: Can., 25 pp. Division of Can. Appl. No. 324,838.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1137474	A2	19821214	CA 1981-381570	19810710
US 4146718	A	19790327	US 1978-894464	19780410
CA 1109067	A1	19810915	CA 1979-324838	19790403
PRIORITY APPLN. INFO.:			US 1978-894464 A	19780410
			CA 1979-324838 A3	19790403

GI



I



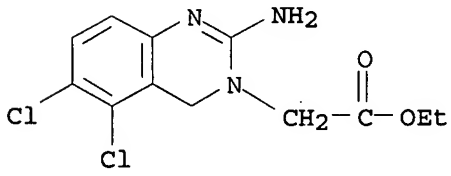
II

AB Title compound (I) was prepared by cyclization of II.HX (R = alkyl; X = Cl, Br, iodo) in presence of a base. Thus, II.HBr (R = Et) was prepared in 6 steps from 1,2,3-Cl3C6H3, and was cyclized in the presence of 1 mol NEt3 in EtOH to give 92% I.

IT 70381-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 70381-75-8 ZCAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 20 OF 20 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:405248 ZCAPLUS

DOCUMENT NUMBER: 91:5248

TITLE: Alkyl 5,6-dichloro-3,4-dihydro-2(1H)-iminoquinazoline-3-acetate hydrohalides

INVENTOR(S): Jenks, Thomas A.; Beverung, Warren N., Jr.; Partyka, Richard A.

PATENT ASSIGNEE(S): Bristol-Myers Co., USA

SOURCE: U.S., 8 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

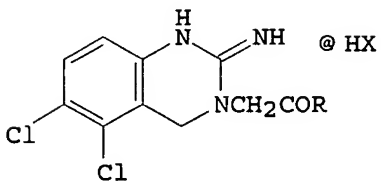
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4146718	A	19790327	US 1978-894464	19780410
CA 1109067	A1	19810915	CA 1979-324838	19790403
FI 7901125	A	19791011	FI 1979-1125	19790405
FI 66616	B	19840731		
FI 66616	C	19841112		
DK 7901447	A	19791011	DK 1979-1447	19790406
DK 156062	B	19890619		
DK 156062	C	19891106		
AU 7945889	A	19791018	AU 1979-45889	19790406

AU 527748	B2	19830324		
FR 2422649	A1	19791109	FR 1979-8770	19790406
FR 2422649	B1	19830729		
GB 2018765	A	19791024	GB 1979-12354	19790409
GB 2018765	B	19820804		
HU 21861	A2	19820227	HU 1979-BI586	19790409
HU 179424	B	19821028		
HU 28466	A2	19831228	HU 1982-177	19790409
HU 187562	B	19860128		
SU 1120923	A3	19841023	SU 1979-2751007	19790409
BE 875475	A1	19791010	BE 1979-194524	19790410
SE 7903198	A	19791011	SE 1979-3198	19790410
SE 445217	B	19860609		
SE 445217	C	19860918		
NL 7902825	A	19791012	NL 1979-2825	19790410
NL 191182	B	19941003		
NL 191182	C	19950301		
DE 2914494	A1	19791018	DE 1979-2914494	19790410
DE 2914494	C2	19890720		
JP 54135794	A	19791022	JP 1979-42626	19790410
JP 02033035	B	19900725		
ZA 7901727	A	19800528	ZA 1979-1727	19790410
CH 639079	A5	19831031	CH 1979-3388	19790410
CA 1137474	A2	19821214	CA 1981-381570	19810710
DK 8200767	A	19820222	DK 1982-767	19820222
DK 150605	B	19870413		
DK 150605	C	19871207		
FI 8300150	A	19830117	FI 1983-150	19830117
FI 71931	B	19861128		
FI 71931	C	19870309		
SE 8404061	A	19840810	SE 1984-4061	19840810
SE 454990	B	19880613		
SE 454990	C	19880922		
DK 8603166	A	19860703	DK 1986-3166	19860703
DK 154838	B	19881227		
DK 154838	C	19890710		
JP 02022276	A	19900125	JP 1989-137585	19890601
JP 03012066	B	19910219		

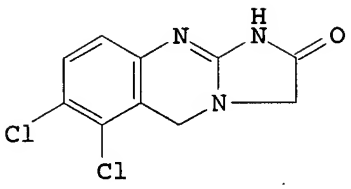
PRIORITY APPLN. INFO.:	US 1978-894464	A	19780410
	CA 1979-324838	A3	19790403
	FI 1979-1125	A	19790405
	DK 1979-1447	A	19790406

OTHER SOURCE(S): MARPAT 91:5248

GI



I



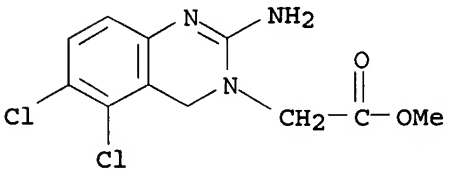
IV

AB The title compds. I (R = alkyl; X = Cl, Br, iodo) were prepared Thus, 2,3,6-Cl2(H2N)C6H2CH2NHCH2CO2Et (II) was cyclized with BrCN to give I (R = Et, X = Br) (III), which was cyclized to give 6,7-dichloro-1,5-dihydroimidazo[2,1-b]quinazoline-2(3H)-one (IV). II was prepared in 5 steps from 1,2,3-Cl3C6H3 and in 5 steps from 4-chloroisatin. The

antiaggregative and antithrombosis activity of II was compared with aspirin, dipyridamole, and sulfinpyrazone.

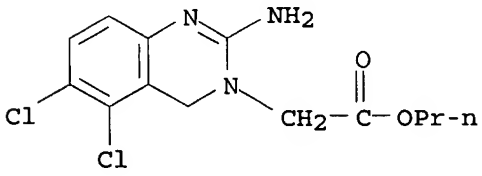
IT 70380-52-8P 70380-53-9P 70380-54-0P
70380-55-1P 70380-56-2P 70380-57-3P
70381-75-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70380-52-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)



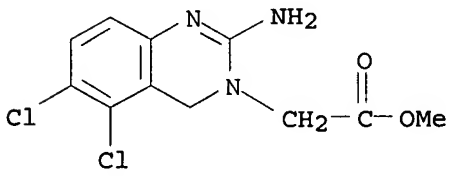
● HBr

RN 70380-53-9 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, propyl ester, monohydrobromide (9CI) (CA INDEX NAME)



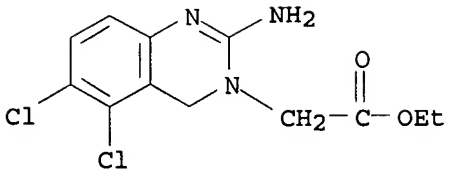
● HBr

RN 70380-54-0 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



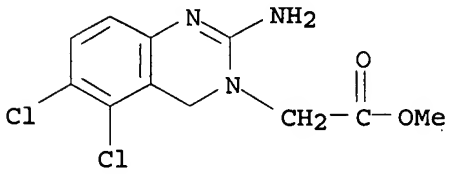
● HCl

RN 70380-55-1 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



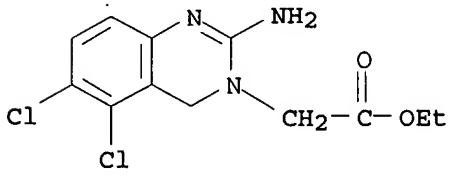
● HCl

RN 70380-56-2 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, methyl ester, monohydriodide (9CI) (CA INDEX NAME)



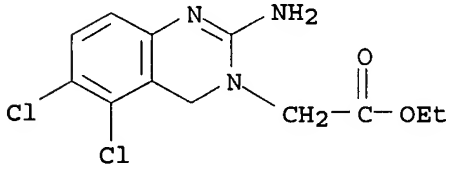
● HI

RN 70380-57-3 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydriodide (9CI) (CA INDEX NAME)



● HI

RN 70381-75-8 ZCAPLUS
CN 3(4H)-Quinazolineacetic acid, 2-amino-5,6-dichloro-, ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

10/ 541,535

=> d his

(FILE 'HOME' ENTERED AT 14:11:00 ON 07 MAY 2007)

FILE 'REGISTRY' ENTERED AT 14:11:10 ON 07 MAY 2007
L1 STRUCTURE UPLOADED
L2 9 S L1 SAMPLE
L3 166 S L1 FUL

FILE 'ZCAPLUS' ENTERED AT 14:11:49 ON 07 MAY 2007
L4 20 S L3

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	105.46	277.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.60	-15.60

STN INTERNATIONAL LOGOFF AT 14:12:21 ON 07 MAY 2007